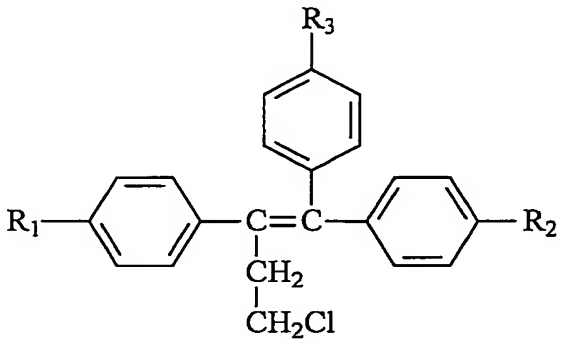
**WHAT IS CLAIMED IS:**

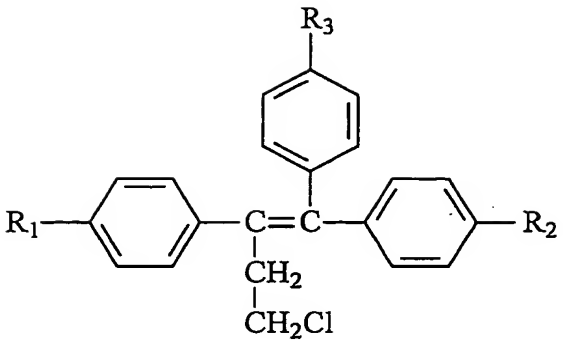
1. A method of suppressing, inhibiting, or reducing the incidence of pre-malignant lesions of prostate cancer in a human, comprising the step of administering to the human a pharmaceutical composition comprising a compound represented by the structure of formula (I), its N-oxide, ester, pharmaceutically acceptable salt, hydrate, or any combination thereof:




(I)

wherein $R_1$ and $R_2$, which can be the same or different, are H or OH; $R_3$ is $OCH_2CH_2NR_4R_5$, wherein $R_4$ and $R_5$, which can be the same or different, are H or an alkyl group of 1 to about 4 carbon atoms.

2. A method of treating a human with pre-malignant lesions of prostate cancer, comprising the step of administering to the human a pharmaceutical composition comprising a compound represented by the structure of formula (I), its N-oxide, ester, pharmaceutically acceptable salt, hydrate, or any combination thereof:




(I)

wherein $R_1$ and $R_2$, which can be the same or different, are H or OH; $R_3$ is $OCH_2CH_2NR_4R_5$, wherein $R_4$ and $R_5$, which can be the same or different, are H or an alkyl group of 1 to about 4 carbon atoms.

3. The method according to claim 1 or 2, wherein said compound of formula (I) is toremifene, its N-oxide, ester, pharmaceutically acceptable salt, hydrate, or any combination thereof.

4. The method according to any of claims 1, or 2, wherein said pharmaceutical composition comprises about 20 mg of the compound of formula (I).

5. The method according to any of claims 1 or 2, wherein said pharmaceutical composition comprises about 40 mg of the compound of formula (I).

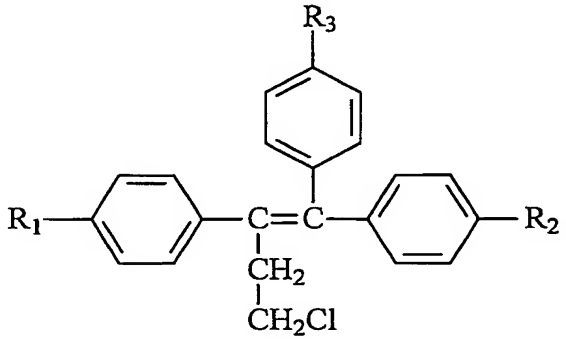
6. The method according to any of claims 1 or 2, wherein said pharmaceutical composition comprises about 60 mg of the compound of formula (I).

7. The method according to any of claims 1, 2, or 3, wherein the pre-malignant lesion is a precancerous precursor of prostate adenocarcinoma.

8. The method according to claim 7, wherein the precancerous precursors of prostate adenocarcinoma is prostate intraepithelial neoplasia (PIN).

9. The method according to claim 8, wherein the prostate intraepithelial neoplasia is high grade prostate intraepithelial neoplasia (HGPIN).

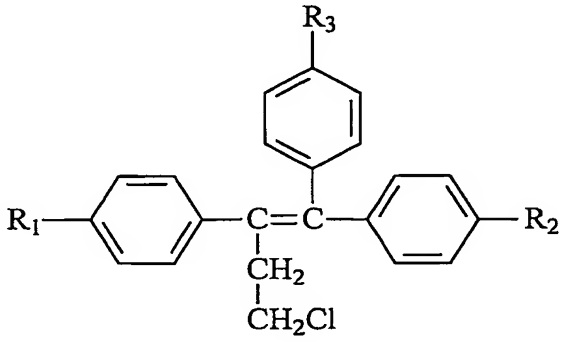
10. A method of suppressing, inhibiting, or reducing the incidence of pre-malignant lesions of prostate cancer in a human comprising the step of administering to the human a pharmaceutical composition comprising an analog or a metabolite of a compound represented by the structure of formula (I), its N-oxide, ester, pharmaceutically acceptable salt, hydrate, or any combination thereof:




(I)

wherein $R_1$ and $R_2$, which can be the same or different, are H or OH; $R_3$ is $OCH_2CH_2NR_4R_5$, wherein $R_4$ and $R_5$, which can be the same or different, are H or an alkyl group of 1 to about 4 carbon atoms.

11. A method of treating a human with pre-malignant lesions of prostate cancer, comprising the step of administering to the human a pharmaceutical composition comprising an analog or a metabolite of a compound represented by the structure of formula (I), its N-oxide, ester, pharmaceutically acceptable salt, hydrate, or any combination thereof:




(I)

wherein $R_1$ and $R_2$, which can be the same or different, are H or OH; $R_3$ is $OCH_2CH_2NR_4R_5$, wherein $R_4$ and $R_5$, which can be the same or different, are H or an alkyl group of 1 to about 4 carbon atoms.

12. The method according to claim 10 or 11, wherein the compound is 4-chloro-1,2-diphenyl-1-[4-[2-(N-methylamino) ethoxy] phenyl]-1-butene; 4-chloro-1,2-diphenyl-1-[4-[2-(N,N-diethylamino) ethoxy]phenyl]-1-butene; 4-chloro-1,2-diphenyl-1-[4 (aminoethoxy)]-1-butene; 4-chloro-1-(4-hydroxyphenyl)-1-[4-[2-(N,N-dimethylamino) ethoxy] phenyl]-2-phenyl-1-butene; 4-chloro-1-(4-hydroxyphenyl)-1-[4-[2-(N-methylamino)ethoxy] phenyl]-2-phenyl-1-butene; or 4-chloro-1,2-bis(4-hydroxyphenyl)-1-[4-[2-(N,N-dimethylamino)ethoxy]phenyl ]-1-butene.

13. The method according to any of claim 10, wherein said pharmaceutical composition comprises about 20 mg of the analog or a metabolite of the compound of formula (I).

14. The method according to any of claim 10, wherein said pharmaceutical composition comprises about 40 mg of the analog or a metabolite of the compound of formula (I).

15. The method according to any of claim 10, wherein said pharmaceutical composition comprises about 60 mg of the analog or a metabolite of the compound of formula (I).

16. The method according to any of claims 10 or 11, wherein the pre-malignant lesion is a precancerous precursor of prostate adenocarcinoma.

17. The method according to claim 16, wherein the precancerous precursors of prostate adenocarcinoma is prostate intraepithelial neoplasia (PIN).

18. The method according to claim 17, wherein the prostate intraepithelial neoplasia is high grade prostate intraepithelial neoplasia (HGPIN).

19. The method according to any of claims 1, or 10, wherein said pharmaceutical composition further comprises a pharmaceutically acceptable carrier.

20. The method according to claim 19, wherein said carrier is selected from the group consisting of a gum, a starch, a sugar, a cellulosic material, and mixtures thereof.

21. The method according to any of claims1, or 10, wherein said administering

comprises subcutaneously implanting in said human a pellet containing said pharmaceutical composition.

22. The method according to claim 21, wherein said pellet provides for controlled release of said pharmaceutical composition over a period of time.

23. The method according to any of claims 1, or 10, wherein said administering comprises intravenously, intraarterially, or intramuscularly injecting into said human said pharmaceutical composition in liquid form.

24. The method according to any of claims 1, or 10, wherein said administering comprises orally administering to said human a liquid or solid preparation containing said pharmaceutical composition.

25. The method according to any of claims 1, or 10, wherein said administering comprises topically applying to skin surface of said human said pharmaceutical composition.

26. The method according to any of claims 1, or 10, wherein said pharmaceutical composition is selected from the group consisting of a pellet, a tablet, a capsule, a solution, a suspension, an emulsion, an elixir, a gel, a cream, and a suppository.

27. The method according to claim 26, wherein said suppository is a rectal suppository or a urethral suppository.

28. The method according to any of claims 1, or 10, wherein said pharmaceutical composition is a parenteral formulation.

29. The method according to claim 28, wherein said parenteral formulation comprises a liposome.

30. The method according to any of claims 1, or 10, wherein said pharmaceutical composition is administered once daily.

31. The method according to any of claims 1, or 10, wherein said pharmaceutical composition is administered twice daily.

32. The method according to any of claims 1, or 10, wherein said pharmaceutical composition is administered thrice daily.